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         AUG 15
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        AUG 25
                 CA/CAplus, CASREACT, and IFI and USPAT databases
                 enhanced for more flexible patent number searching
NEWS 26
        AUG 27
                 CAS definition of basic patents expanded to ensure
                 comprehensive access to substance and sequence
                 information
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AND CURRENT DISCOVER FILE IS DATED 23 JUNE 2008.

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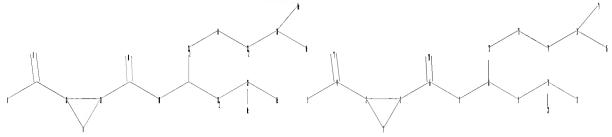
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chain nodes :

 $4 \quad 5 \quad 6 \quad 7 \quad 8 \quad 9 \quad 10 \quad 11 \quad 12 \quad 13 \quad 14 \quad 15 \quad 16 \quad 17 \quad 18 \quad 19 \quad 20$

ring nodes :

1 2 3

chain bonds :

 $2-4 \quad 3-6 \quad 4-5 \quad 4-17 \quad 6-7 \quad 6-18 \quad 7-8 \quad 8-9 \quad 8-12 \quad 9-10 \quad 10-11 \quad 10-20 \quad 12-13 \quad 13-14$

14-15 15-16 15-19

ring bonds :

1-2 1-3 2-3

exact/norm bonds :

1-2 1-3 2-3 4-5 4-17 6-7 6-18 7-8

exact bonds :

 $2-4 \quad 3-6 \quad 8-9 \quad 8-12 \quad 9-10 \quad 10-11 \quad 10-20 \quad 12-13 \quad 13-14 \quad 14-15 \quad 15-16 \quad 15-19$

Match level:

1:Atom 2:Atom 3:Atom 4:CLASS 5:CLASS 6:CLASS 7:CLASS 8:CLASS 9:CLASS 10:CLASS 11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS 19:CLASS 20:CLASS

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=> d 11

L1 HAS NO ANSWERS

J1 STR

Structure attributes must be viewed using STN Express query preparation.

19 ANSWERS

=> s l1 sss full

FULL SEARCH INITIATED 14:35:34 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 434 TO ITERATE

100.0% PROCESSED 434 ITERATIONS

SEARCH TIME: 00.00.01

L2 19 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS SINCE FILE TOTAL

FULL ESTIMATED COST ENTRY SESSION 179.74 179.95

FILE 'CAPLUS' ENTERED AT 14:35:41 ON 11 SEP 2008

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FILE COVERS 1907 - 11 Sep 2008 VOL 149 ISS 11 FILE LAST UPDATED: 10 Sep 2008 (20080910/ED)

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http://www.cas.org/legal/infopolicy.html

=> s 12

L3 4 L2

=> d 13 1-4 abs ibib hitstr

L3 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2008 ACS on STN

AB The present invention relates to a method of using a therapeutically effective amount of at least one cathepsin B inhibitor for correcting bone mineralization defect. The invention also relates to a combination of the cathepsin B inhibitor with an other agent selected from the group of a second cathepsin B inhibitor, a PHEX polypoeptide, phosphate and calcitriol. Specifically, the invention discloses that the correction of bone miceralization defect includes an increase of d. of pure cortical bone, an increase of mean d. of tatal bone, an increase of cortical thickness, an increase of pure cortical area assigned to be cortical etc.

ACCESSION NUMBER: 2008:283346 CAPLUS

DOCUMENT NUMBER: 148:299923

TITLE: Methods of correcting bone mineralization defects by

using cathepsin B inhibitors and the kits and

compositions therefor

INVENTOR(S): Rowe, Peter; Yanagawa, Norimoto PATENT ASSIGNEE(S): The University of Kansas, USA

SOURCE: Can. Pat. Appl., 80pp.

CODEN: CPXXEB

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CA 2558043	A1	20080224	CA 2006-2558043	20060824
AU 2006203680	A1	20080313	AU 2006-203680	20060824
PRIORITY APPLN. INFO.:			CA 2006-2558043 T0	20060824
IT 791627-76-4				

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(methods of correcting bone mineralization defects by using cathepsin B inhibitors and kits and compns. therefor)

RN 791627-76-4 CAPLUS

CN 2-Oxiranecarboxylic acid, 3-[[[(1S)-3-methyl-1-[(2-methylpropoxy)methyl]butyl]amino]carbonyl]-, ethyl ester, (2S,3S)- (CA INDEX NAME)

Absolute stereochemistry.

L3 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2008 ACS on STN

AB Cathepsin K was originally identified as an osteoclast-specific lysosomal protease, the inhibitor of which has been considered might have therapeutic potential. We show that inhibition of cathepsin K could potently suppress autoimmune inflammation of the joints as well as osteoclastic bone resorption in autoimmune arthritis. Furthermore, cathepsin K-/- mice were resistant to exptl. autoimmune encephalomyelitis. Pharmacol. inhibition or targeted disruption of cathepsin K resulted in defective Toll-like receptor 9 signaling in dendritic cells in response to unmethylated CpG DNA, which in turn led to attenuated induction of T helper 17 cells, without affecting the antigen-presenting ability of dendritic cells. These results suggest that cathepsin K plays an important role in the immune system and may serve as a valid therapeutic target in autoimmune diseases.

ACCESSION NUMBER: 2008:126455 CAPLUS

DOCUMENT NUMBER: 148:306309

TITLE: Cathepsin K-Dependent Toll-Like Receptor 9 Signaling

Revealed in Experimental Arthritis

AUTHOR(S): Asagiri, Masataka; Hirai, Toshitake; Kunigami,

Toshihiro; Kamano, Shunya; Gober, Hans-Juergen; Okamoto, Kazuo; Nishikawa, Keizo; Latz, Eicke;

Golenbock, Douglas T.; Aoki, Kazuhiro; Ohya, Keiichi; Imai, Yuuki; Morishita, Yasuyuki; Miyazono, Kohei;

Kato, Shigeaki; Saftig, Paul; Takayanagi, Hiroshi
CORPORATE SOURCE: Department of Cell Signaling, Graduate School, Tokyo

Medical and Dental University, Tokyo, 113-8549, Japan

SOURCE: Science (Washington, DC, United States) (2008),

319 (5863), 624-627

CODEN: SCIEAS; ISSN: 0036-8075

PUBLISHER: American Association for the Advancement of Science

DOCUMENT TYPE: Journal LANGUAGE: English

IT 221144-20-3, NC 2300

RL: BUU (Biological use, unclassified); PAC (Pharmacological activity); PKT (Pharmacokinetics); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(cathepsin K-dependent Toll-Like receptor 9 signaling revealed in exptl. arthritis)

RN 221144-20-3 CAPLUS

CN 2-Oxiranecarboxylic acid, 3-[[[(1S)-3-methyl-1-[(2-methylpropoxy)methyl]butyl]amino]carbonyl]-, sodium salt (1:1), (2S,3S)-(CA INDEX NAME)

Absolute stereochemistry.

Na

REFERENCE COUNT: 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2008 ACS on STN

AB This invention is intended to purify (2S,3S)-3-[[(1S)-1-isobutoxymethyl-3-methylbutyl]carbamoyl]oxirane-2-carboxylic acid with the use of a salt from the carboxylic acid and an organic amine selected from among piperazine, adamantanamines, etc. and to provide crystalline sodium and potassium salts of the carboxylic acid which are enhanced in storage stability so as to be suitable for use as a raw material for medicinal drug. By this method, the title carboxylic acid was obtained in 99.9% purity.

ACCESSION NUMBER: 2004:965234 CAPLUS

DOCUMENT NUMBER: 141:410803

TITLE: Process for preparation of (2S,3S)-3-[[(1S)-1-

isobutoxymethyl-3-methylbutyl]carbamoyl]oxirane-2-

carboxylic acid salts

INVENTOR(S): Tendo, Atsushi; Takahashi, Toshihiro; Yamakawa, Tomio;

Okai, Kazuki; Nihashi, Susumu

PATENT ASSIGNEE(S): Nippon Chemiphar Co., Ltd., Japan

SOURCE: PCT Int. Appl., 35 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.				KIND DATE		i	APPL	ICAT	ION I	DATE									
WO	WO 2004096785			A1	A1 20041111			Ī	WO 2	004-	JP57		20040422						
	W:	ΑE,	AG,	AL,	AM,	AT,	ΑU,	AZ,	BA,	BB,	ВG,	BR,	BW,	BY,	BZ,	CA,	CH,		
		CN,	СО,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,		
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	ΚΖ,	LC,		
		LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NI,		
		NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,		
		TJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW		
	RW:	BW,	GH,	GM,	KΕ,	LS,	MW,	MΖ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,		
		BY,	KG,	KΖ,	MD,	RU,	ΤJ,	TM,	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,		
		ES,	FΙ,	FR,	GB,	GR,	HU,	ΙE,	ΙΤ,	LU,	MC,	NL,	PL,	PT,	RO,	SE,	SI,		
		SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,		
		TD,	TG																
AU	AU 2004234235			A1		2004	1111	1	AU 2	004 -	2342	20040422							
CA	2523.	233		A1			2004	1111	CA 2004-2523233							20040422			
ΕP	1619	190			A1	A1 20060125			EP 2004-728921						20040422				
	R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	ΙΤ,	LI,	LU,	NL,	SE,	MC,	PT,		
		ΙE,	SI,	LT,	LV,	FΙ,	RO,	MK,	CY,	AL,	TR,	BG,	CZ,	EE,	HU,	PL,	SK,	HR	
CN	1809549 A 200607.				0726	(CN 2	004 -	8001		20040422								
US	US 20060252826				A1		2006	1109	1	US 2005-553946						20051021			

IN 2005CN02749 A 20070601 IN 2005-CN2749 20051024 PRIORITY APPLN. INFO.: JP 2003-121103 A 20030425 WO 2004-JP5767 W 20040422

IT 777838-84-3P 791627-71-9P 791627-72-0P 791627-73-1P 791627-74-2P

RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of (2S,3S)-3-[[(1S)-1-isobutoxymethyl-3-methylbutyl]carbamoyl]oxirane-2-carboxylic acid salts)

RN 777838-84-3 CAPLUS

CN 2-Oxiranecarboxylic acid, 3-[[[(1S)-3-methyl-1-[(2-methylpropoxy)methyl]butyl]amino]carbonyl]-, (2S,3S)- (CA INDEX NAME)

Absolute stereochemistry.

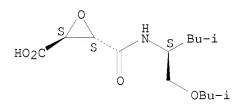
RN 791627-71-9 CAPLUS

CN 2-Oxiranecarboxylic acid, 3-[[[(1S)-3-methyl-1-[(2-methylpropoxy)methyl]butyl]amino]carbonyl]-, (2S,3S)-, compd. with cyclohexanamine (1:1) (CA INDEX NAME)

CM 1

CRN 777838-84-3 CMF C14 H25 N O5

Absolute stereochemistry.



CM 2

CRN 108-91-8 CMF C6 H13 N

RN 791627-72-0 CAPLUS

CN 2-Oxiranecarboxylic acid, 3-[[(1S)-3-methyl-1-[(2-methylpropoxy)methyl]butyl]amino]carbonyl]-, (2S,3S)-, compd. with N1,N2-bis(phenylmethyl)-1,2-ethanediamine (1:1) (CA INDEX NAME)

CM 1

CRN 777838-84-3 CMF C14 H25 N O5

Absolute stereochemistry.

CM 2

CRN 140-28-3 CMF C16 H20 N2

 $\mathtt{Ph}-\mathtt{CH}_2-\mathtt{NH}-\mathtt{CH}_2-\mathtt{CH}_2-\mathtt{NH}-\mathtt{CH}_2-\mathtt{Ph}$

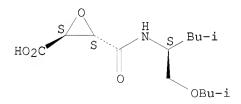
RN 791627-73-1 CAPLUS

CN D-Glucitol, 1-deoxy-1-(methylamino)-, (2S,3S)-3-[[[(1S)-3-methyl-1-[(2-methylpropoxy)methyl]butyl]amino]carbonyl]oxiranecarboxylate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 777838-84-3 CMF C14 H25 N O5

Absolute stereochemistry.



CM 2

CRN 6284-40-8 CMF C7 H17 N O5

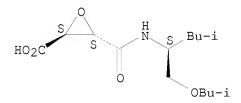
RN 791627-74-2 CAPLUS

CN Lysine, mono[(2S,3S)-3-[[[(1S)-3-methyl-1-[(2-methylpropoxy)methyl]butyl]amino]carbonyl]oxiranecarboxylate] (9CI) (CA INDEX NAME)

CM 1

CRN 777838-84-3 CMF C14 H25 N O5

Absolute stereochemistry.



CM 2

CRN 70-54-2 CMF C6 H14 N2 O2

$$^{\rm NH2}_{\rm H_2N^-\ (CH_2)\ 4^-CH^-CO_2H}$$

methylpropoxy)methyl]butyl]amino]carbonyl]-, sodium salt (1:1), (2S,3S)(CA INDEX NAME)

Na

RN 791627-75-3 CAPLUS CN 2-Oxiranecarboxylic acid, 3-[[[(1S)-3-methyl-1-[(2-

methylpropoxy)methyl]butyl]amino]carbonyl]-, potassium salt (1:1),
(2S,3S)- (CA INDEX NAME)

Absolute stereochemistry.

K

RN 791627-77-5 CAPLUS

CN 2-Oxiranecarboxylic acid, 3-[[[(1S)-3-methyl-1-[(2-methylpropoxy)methyl]butyl]amino]carbonyl]-, (2S,3S)-, compd. with piperazine (1:1) (CA INDEX NAME)

CM 1

CRN 777838-84-3 CMF C14 H25 N O5

Absolute stereochemistry.

CM 2

CRN 110-85-0 CMF C4 H10 N2

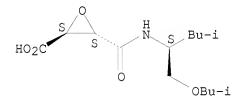
RN 791627-78-6 CAPLUS

CN 2-Oxiranecarboxylic acid, 3-[[[(1S)-3-methyl-1-[(2-methylpropoxy)methyl]butyl]amino]carbonyl]-, (2S,3S)-, compd. with tricyclo[3.3.1.13,7]decan-1-amine (1:1) (CA INDEX NAME)

CM 1

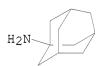
CRN 777838-84-3 CMF C14 H25 N O5

Absolute stereochemistry.



CM 2

CRN 768-94-5 CMF C10 H17 N



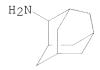
RN 791627-79-7 CAPLUS

CN 2-Oxiranecarboxylic acid, 3-[[[(1S)-3-methyl-1-[(2-methylpropoxy)methyl]butyl]amino]carbonyl]-, (2S,3S)-, compd. with tricyclo[3.3.1.13,7]decan-2-amine (1:1) (CA INDEX NAME)

CM :

CRN 777838-84-3 CMF C14 H25 N O5

CRN 13074-39-0 CMF C10 H17 N



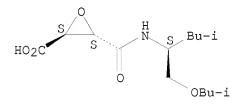
RN 791627-80-0 CAPLUS

CN 2-Oxiranecarboxylic acid, 3-[[[(1S)-3-methyl-1-[(2-methylpropoxy)methyl]butyl]amino]carbonyl]-, (2S,3S)-, compd. with N-cyclohexylcyclohexanamine (1:1) (CA INDEX NAME)

CM 1

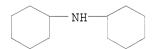
CRN 777838-84-3 CMF C14 H25 N O5

Absolute stereochemistry.



CM 2

CRN 101-83-7 CMF C12 H23 N



RN 791627-81-1 CAPLUS

CN 2-Oxiranecarboxylic acid, 3-[[(1S)-3-methyl-1-[(2-methylpropoxy)methyl]butyl]amino]carbonyl]-, (2S,3S)-, compd. with 2-amino-2-(hydroxymethyl)-1,3-propanediol (1:1) (CA INDEX NAME)

CM 1

CRN 777838-84-3 CMF C14 H25 N O5

CM 2

CRN 77-86-1 CMF C4 H11 N O3

$$\begin{array}{c} {\rm ^{NH_2}} \\ {\rm ^{HO-CH_2-C-CH_2-OH}} \\ {\rm ^{CH_2-OH}} \end{array}$$

RN 791627-82-2 CAPLUS

CN L-Arginine, mono[(2S,3S)-3-[[[(1S)-3-methyl-1-[(2-methylpropoxy)methyl]butyl]amino]carbonyl]oxiranecarboxylate] (9CI) (CA INDEX NAME)

CM 1

CRN 777838-84-3 CMF C14 H25 N O5

Absolute stereochemistry.

CM 2

CRN 74-79-3 CMF C6 H14 N4 O2

Absolute stereochemistry.

$$H_2N$$
 NH
 $(CH_2)_3$
 S
 CO_2H
 NH_2

IT 791627-76-4

RL: RCT (Reactant); RACT (Reactant or reagent) (preparation of (2S,3S)-3-[[(1S)-1-isobutoxymethyl-3-methylbutyl]carbamoyl]oxirane-2-carboxylic acid salts)

RN 791627-76-4 CAPLUS

CN 2-Oxiranecarboxylic acid, 3-[[[(1S)-3-methyl-1-[(2-methylpropoxy)methyl]butyl]amino]carbonyl]-, ethyl ester, (2S,3S)- (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2008 ACS on STN GI

AΒ Novel epoxysuccinamide derivs. (3-carboxyoxirane-2-carboxamides) represented by general formula (I) or physiol. acceptable salts thereof [wherein R1 and R3 are each H, alkyl, alkenyl, alkynyl, aryl, aralkyl, a heterocyclic group, or alkyl substituted with a heterocyclic group; R2 is alkyl, alkenyl, alkynyl, aryl, aralkyl, a heterocyclic group, or alkyl substituted with a heterocyclic group; X is O or NR4 (wherein R4 is H, alkyl, aryl, aralkyl, a heterocyclic group, or alkyl substituted with a heterocyclic group); Y1 is OR5, SR6 or NR7R8 (wherein R5, R6 and R7 are each H, alkyl, aryl, aralkyl, acyl, a heterocyclic group, or alkyl substituted with a heterocyclic group; and R8 is the same as defined as to R4); and Y2 is H or alkyl, or alternatively Y1 and Y2 may be united to form =0, =S, =N-R9 or =N-OR10 (wherein R9 and R10 are each the same as defined as to R4), with the proviso that the alkyl, aryl and heterocyclic groups defined as to R5 to R10 may each have one or more specific substituents and that the groups defined as to R1 to R10 and Y2 are each specified in the number of carbon atoms] are prepared These compds. inhibit bone absorption and activity of cathepsin L and B (cysteine protease) and are useful for the treatment of bone diseases such as osteoporosis, malignant hypercalcemia, and Paget's disease of bone, arthritis deformans and chronic articular rheumatism accompanied by unusual exasperation of cathepsin B and L activity, and muscular dystrophy and muscular atrophy related to cathepsin B and L. Thus, (2S,3S)-3-ethoxycarbonyloxirane-2carboxylic acid was condensed with $(S)-1-[(R)-\alpha-methoxybenzyl]-3$ methylbutylamine using N-hydroxysuccinimide and DCC in EtOAc at room temperature

overnight to give the title compound (II). II at 15 mg/kg p.o. lowered

serum calcium by 20.4% in rat.

ACCESSION NUMBER: 1999:184251 CAPLUS

DOCUMENT NUMBER: 130:223163

TITLE: Preparation of epoxysuccinamide derivatives for

treatment of bone diseases and arthritis

INVENTOR(S): Nomura, Yutaka; Takahashi, Toshihiro; Yoshino,

Yasushi; Nishioka, Koichiro

PATENT ASSIGNEE(S): Nippon Chemiphar Co., Ltd., Japan

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PATENT INFORMATION:

PA	PATENT NO.					KIND DATE			APPLICATION NO.							DATE			
WO					A1 JP, KI									-	19980	904			
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IT 221144-15-6P 221144-16-7P 221144-17-8P

221144-18-9P 221144-19-0P 221144-20-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of epoxysuccinamide derivs. as bone absorption inhibitors and cathepsin B and L inhibitors for treatment of bone diseases and arthritis)

RN 221144-15-6 CAPLUS

CN 2-Oxiranecarboxylic acid, 3-[[(1S)-3-methyl-1-[(2-methyl-1)-1]]]

methylpropoxy)methyl]butyl]amino]carbonyl]-, 1-methylethyl ester, (2S,3S) (CA INDEX NAME)

RN 221144-16-7 CAPLUS

CN 2-Oxiranecarboxylic acid, 3-[[[(1S)-3-methyl-1-[(2-methylpropoxy)methyl]butyl]amino]carbonyl]-, 1,1-dimethylethyl ester, (2S,3S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 221144-17-8 CAPLUS

CN 2-Oxiranecarboxylic acid, 3-[[[(1S)-3-methyl-1-[(2-methylpropoxy)methyl]butyl]amino]carbonyl]-, cyclohexyl ester, (2S,3S)-(CA INDEX NAME)

Absolute stereochemistry.

RN 221144-18-9 CAPLUS

CN 2-Oxiranecarboxylic acid, 3-[[[(1S)-3-methyl-1-[(2-methylpropoxy)methyl]butyl]amino]carbonyl]-, phenyl ester, (2S,3S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 221144-19-0 CAPLUS

CN 2-Oxiranecarboxylic acid, 3-[[(1S)-3-methyl-1-[(2-methyl-1)-(3-methyl-1)]]

methylpropoxy)methyl]butyl]amino]carbonyl]-, 4-(1,1-dimethylethyl)phenyl
ester, (2S,3S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 221144-20-3 CAPLUS

CN 2-Oxiranecarboxylic acid, 3-[[[(1S)-3-methyl-1-[(2-methylpropoxy)methyl]butyl]amino]carbonyl]-, sodium salt (1:1), (2S,3S)-(CA INDEX NAME)

Absolute stereochemistry.

Na

REFERENCE COUNT:

79 THERE ARE 79 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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